Anti-diabetically effective 2-substituted-N-(5-substituted-2-pyrimidinyl)hydrindene-5-sulfonamides. Heerdt, Ruth; Huebner, Manfred; Schmidt, Felix Helmut; Stach, Kurt; Muth, Karl. (Boehringer Mannheim G.m.b.H.). S. African (1969), 26 pp. CODEN: SFXXAB ZA 6806875 19690326 Patent written in English. Application: ZA Priority: DE 19671024. CAN 72:12763 AN 1970:12763 CAPLUS

Patent Family Information

Abstract

The title compds. (I, R = Et, Pr, PrO, iso-Pr, MeOCH2, EtOCH2, PhCH2, PrS, EtO, cyclohexylmethyl, cyclohexyl, cyclohexyloxy, or 5,6,7,8-tetrahydroquinazolinyl; R1 = H or Me; R2 = 2,5-(MeO)ClC6H3, 2,5-(MeO)BrC6H3, cyclohexyl, m-MeC6H4, m-ClC6H4, PhSCH2, 3-methoxy-2-thienyl, 2-furyl, PhOCH2, Me(o-MeC6H4)N, o-MeOC6H4, 3-chloro-2-thienyl, PhCH2CH2, m-F3CC6H4, m-FC6H4, 2,5-(MeO)ClC6H3CH2CH2, or PhCH2O) are prepd. by reacting II (X = COR2, Y = Cl; X = H, Y = 2-pyrimidinylamino; X = R2CO, Y = H2N) with the appropriate 2-aminopyrimidine, R2COCl, and 2-chloropyrimidine, resp. For example, sulfochlorination of 2-(5-chloro-2-methoxybenzamido)hydrindene gave II (X = 2,5-(MeO)ClC6H3CO, Y = Cl) (III), m. 133°. III (3.2 g) was added to 1.23 g 2-amino-5-propoxypyrimidine in 5 ml anhyd. pyridine, and the mixt. kept overnight and heated 2 hr on a steam bath to give 75% I (R = PrO, R1 = H, R2 = 5,2-(MeO)C6H3), m. 122–4°. Alk. hydrolysis of I (R = iso-Bu, R1 = H, R2 = OEt) gave 5-(5-isobutyl-2-pyrimidinylaminosulfonyl)-2-aminohydrindene (IV), 235–40°. A soln. of 2 g IV in 3.4 ml 2N NaOH and 5 ml water was treated with 1.2 g 1-indolinecarbonyl chloride in 10 ml CH2Cl2 to give 59.8% I (r = iso-Bu, R1 = H, R3 = 1-indolinyl), m. 247-9°. A mixt. of 2.3 g II (X = PhCH2CH2CO, Y = NH2), 1.15 g 2-chloro-5-isobutylpyrimidine and 0.9 g K2CO3 was heated to 190° to give I (R = iso-Bu, R1 = H, R2 = PhCH2CH2), m. 202–4°.